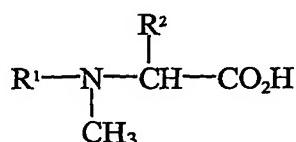


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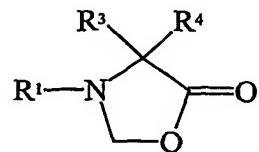
THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A compound of formula I or II:

5



I



II

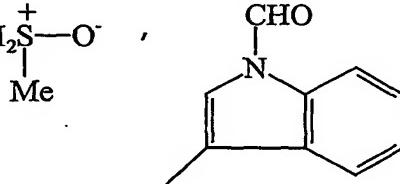
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in which

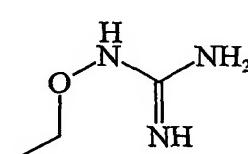
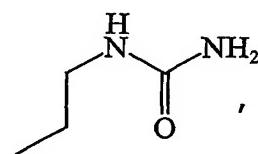
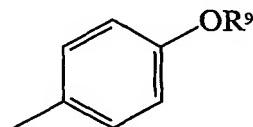
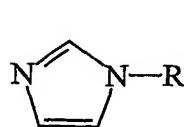
$\text{R}^1$  is an N-protecting group or a peptide;

$\text{R}^2$  is  $\text{CHCH}_3\text{OAc}$  or  $\text{CHR}^5\text{R}^6$  in which  $\text{R}^5$  is hydrogen and  $\text{R}^6$  is  $\text{OAc}$ ,  $\text{CONH}_2$ ,  $\text{SBn}$ ,  $\text{CH}_2\text{S}^+-\text{O}^-$ ,

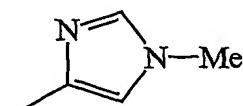
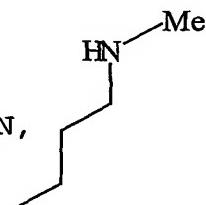
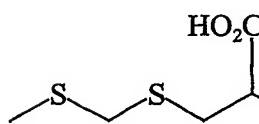
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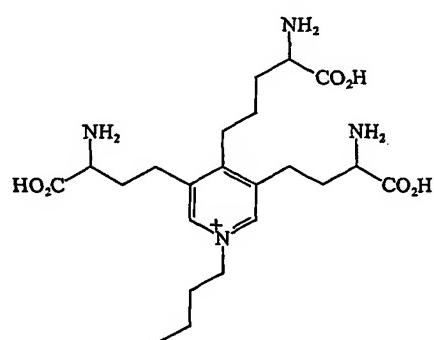
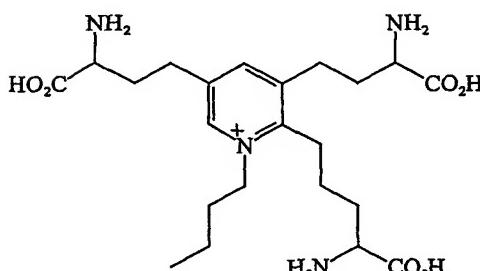
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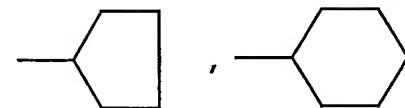


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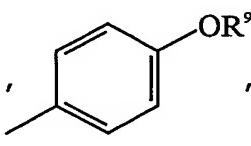
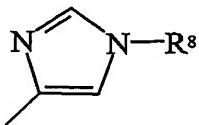
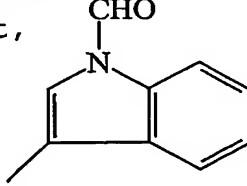
- 96 -

$\text{CO}_2\text{R}^7$  or  $\text{CH}_2\text{CO}_2\text{R}^7$  in which  $\text{R}^7$  is a carboxyl protecting group; and

$\text{R}^3$  is  $\text{CHCH}_3\text{OAc}$ ,



5 or  $\text{CHR}^5\text{R}^6$  in which  $\text{R}^5$  is as defined above and  $\text{R}^6$  is  $\text{OAc}$ ,  $\text{SBn}$ ,  $\text{CONHTrt}$ ,

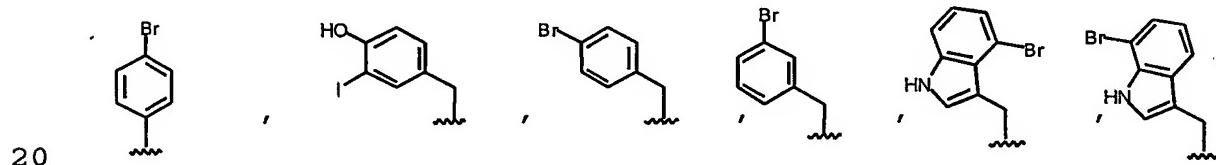


10  $\text{CO}_2\text{R}^7$ ,  $\text{CHCO}_2\text{R}^7$ ,  $\text{CH}_2\text{CH}_3$  or  $\text{CH}=\text{CH}_2$  in which  $\text{R}^7$  is as defined above,  $\text{R}^8$  is a histidine protecting group and  $\text{R}^9$  is a phenol protecting group;

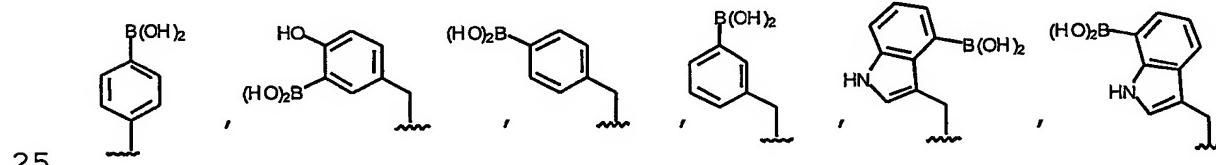
$\text{R}^4$  is hydrogen or  $\text{R}^4$  is methyl when  $\text{R}^3$  is  $\text{OAc}$ ;

$\text{R}^3$  together with  $\text{R}^4$  forms cyclopentyl; or

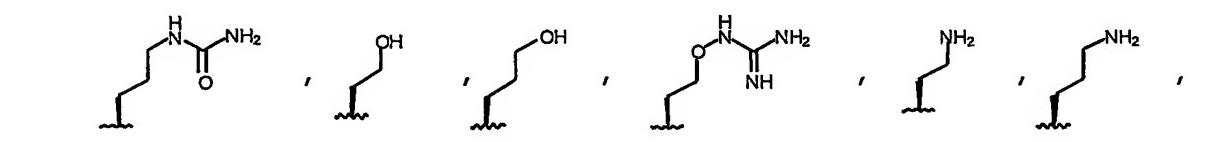
15  $\text{R}^2$  and  $\text{R}^3$  independently represent optionally protected amino acid side chains selected from:



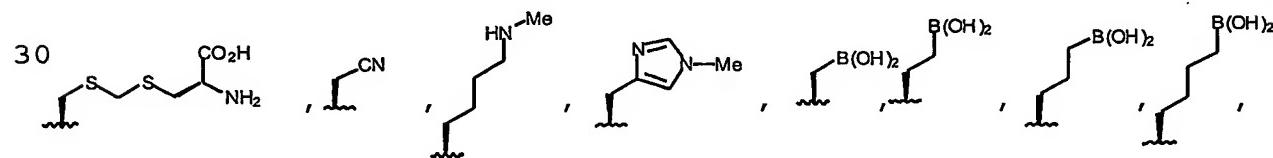
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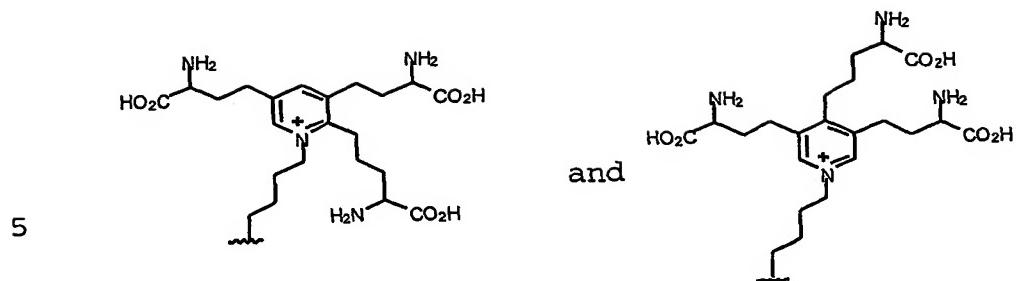
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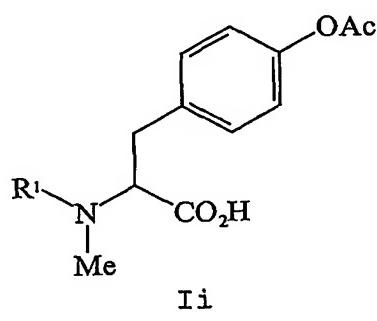
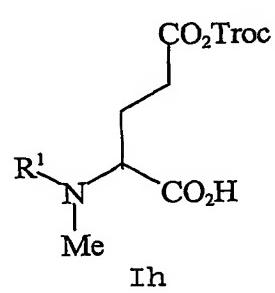
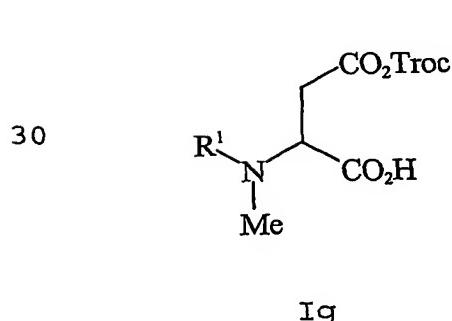
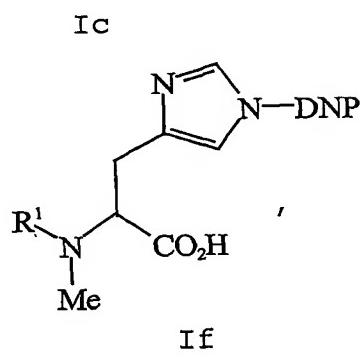
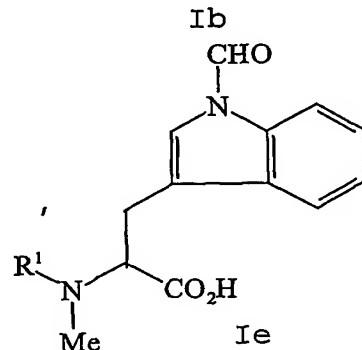
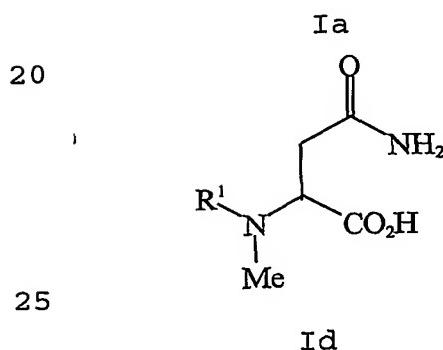
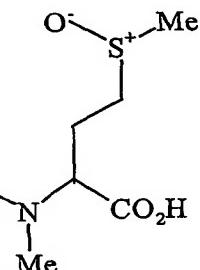
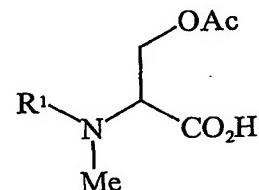
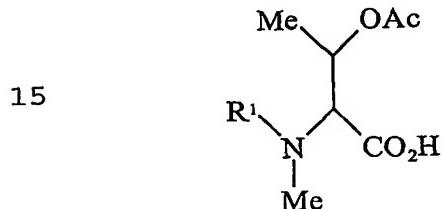
- 97 -

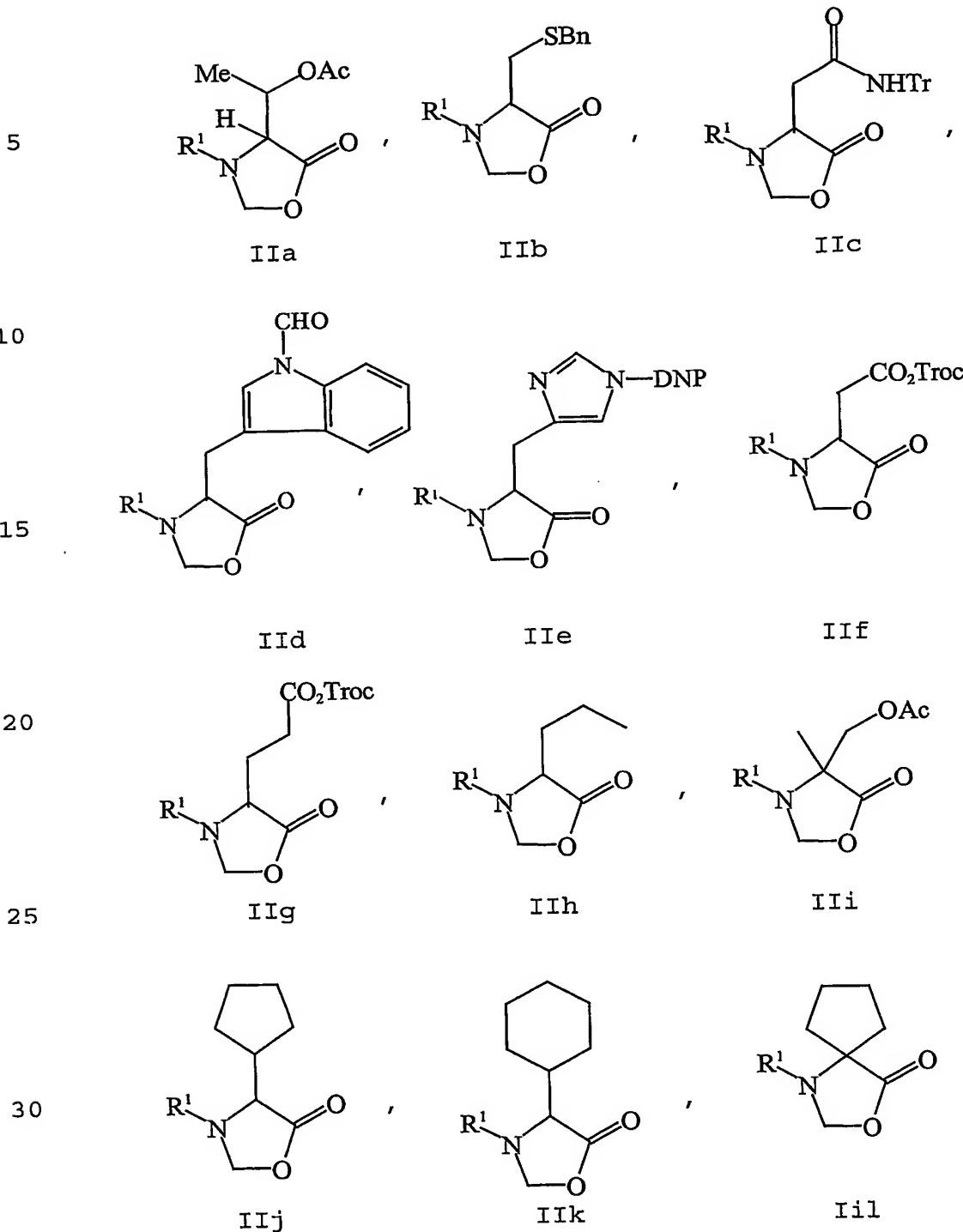


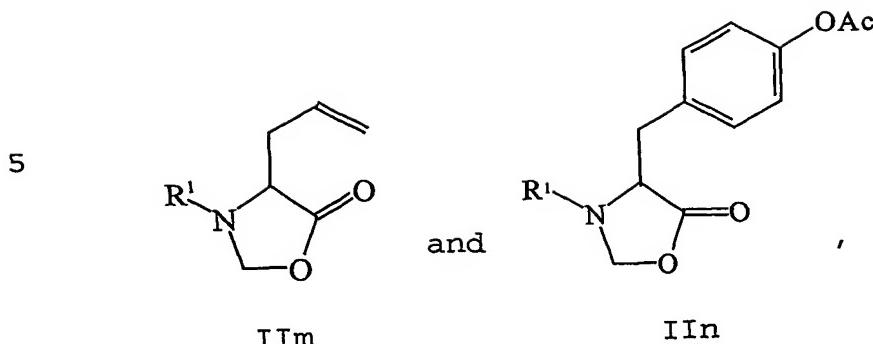
salts, hydrates, solvates, derivatives,  
tautomers and/or isomers thereof.

10

2. A compound according to claim 1, which is selected from:







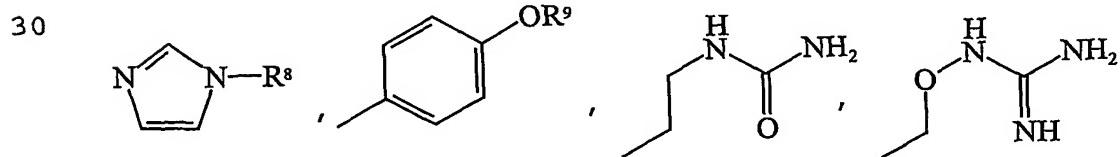
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in which R<sup>1</sup> is as defined in claim 1.

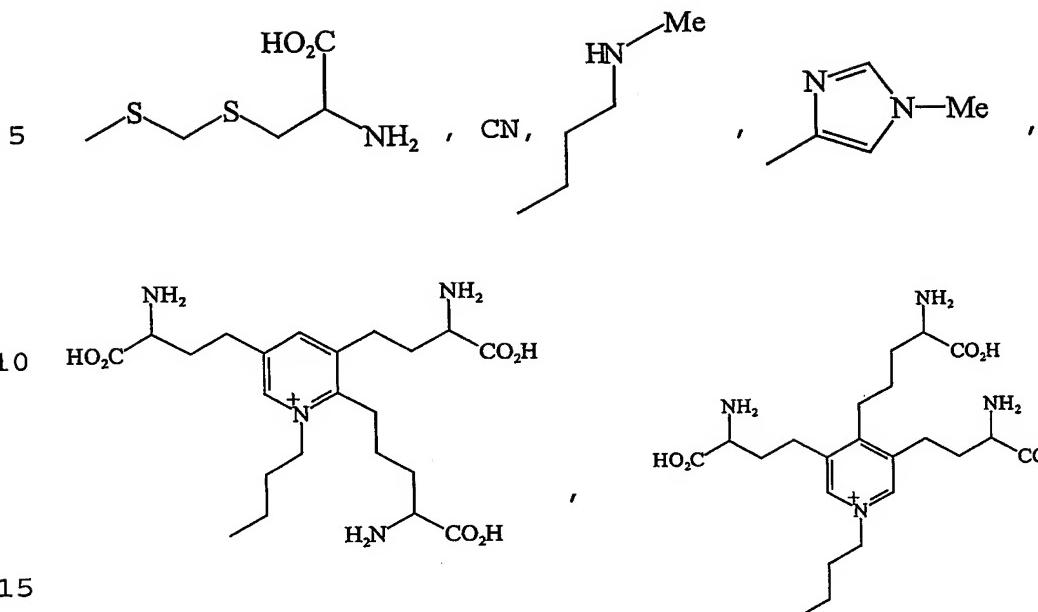
3. A process for preparing the compound of formula I as defined in claim 1 or claim 2 which comprises  
15 reductive cleavage of the compound of formula II as defined in claim 1 or claim 2.

4. A process according to claim 3 in which the  
reductive cleavage employs trifluoroacetic acid (TFA) as  
20 the acid and triethylsilane (Et<sub>3</sub>SiH) as the reductant.

5. A process for preparing the compound of formula I or II as defined in claim 1 or claim 2 when  
R<sup>1</sup> is an N-protecting group or a peptide;  
25 R<sup>2</sup> is CHCH<sub>3</sub>OAc or CHR<sup>5</sup>R<sup>6</sup> in which R<sup>5</sup> is hydrogen and R<sup>6</sup> is OAc, CONH<sub>2</sub>, SBn, CH<sub>2</sub>S—O—<sup>+</sup> Me



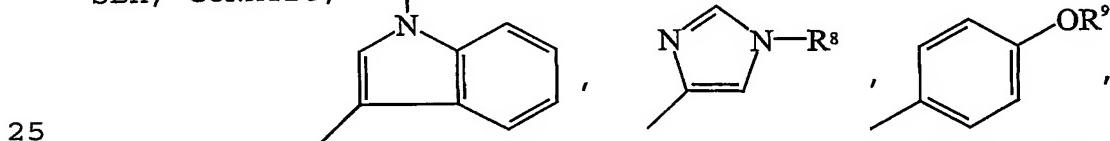
- 100 -



$\text{CO}_2\text{R}^7$  or  $\text{CH}_2\text{CO}_2\text{R}^7$  in which  $\text{R}^7$  is a carboxyl protecting group; and

$R^3$  is  $CHCH_3OAC$ ,  , 

or  $\text{CHR}^5\text{R}^6$  in which  $\text{R}^5$  is as defined above and  $\text{R}^6$  is OAc,  
 $\text{SBN}$ ,  $\text{CONHTrt}$ ,  $\begin{matrix} \text{CHO} \\ | \end{matrix}$   $\begin{matrix} \wedge \\ | \end{matrix}$   $\text{OR}^9$

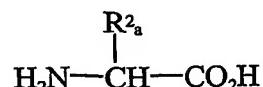


23 CO<sub>2</sub>R<sup>7</sup>, CHCO<sub>2</sub>R<sup>7</sup>, CH<sub>2</sub>CH<sub>3</sub> or CH=CH<sub>2</sub> in which R<sup>7</sup> is as defined above, R<sup>8</sup> is a histidine protecting group and R<sup>9</sup> is a phenol protecting group;

R<sup>4</sup> is hydrogen or R<sup>4</sup> is methyl when R<sup>3</sup> is OAc;  
R<sup>3</sup> together with R<sup>4</sup> forms cyclopentyl;  
which comprises the steps of:

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(a) converting a compound of formula III



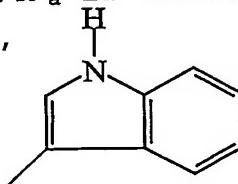
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III

in which

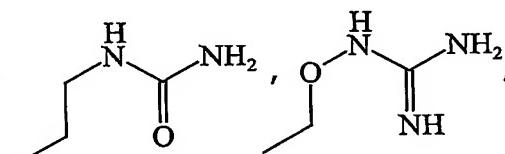
$\text{R}^2_a$  is  $\text{CHOHMe}$  or  $\text{CHR}^5\text{R}^6_a$  in which  $\text{R}^5$  is as defined above and  $\text{R}^6_a$  is  $\text{OH}$ ,  $\text{SH}$ ,  $\text{CONH}_2$ ,

10

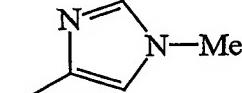
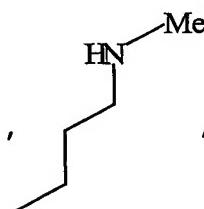
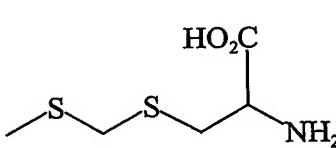


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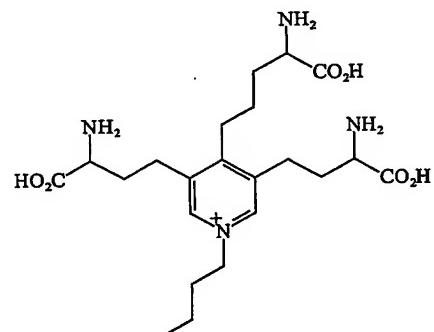
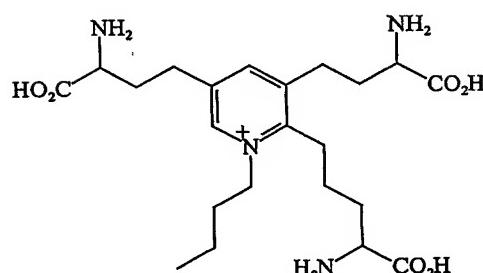
in which  $\text{R}^8$  is as defined above,



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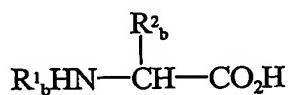


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$\text{CO}_2\text{H}$  or  $\text{CH}_2\text{CONH}_2$

or salts thereof

into a compound of formula IV



35

IV

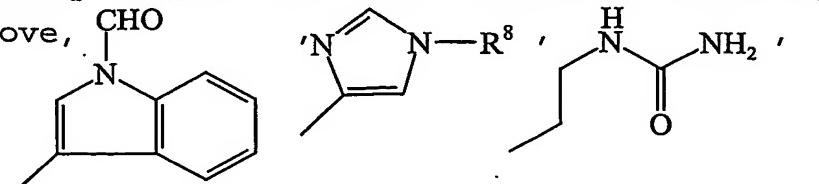
in which

- 102 -

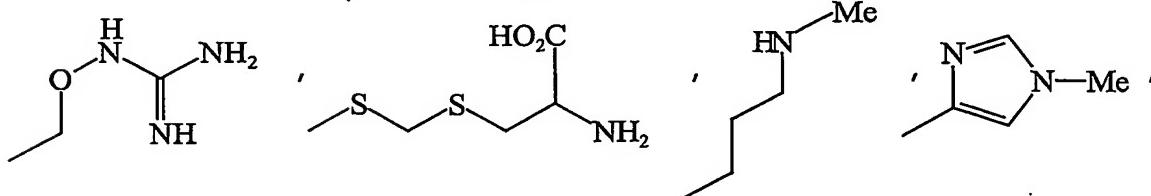
$R^1_b$  is an N-protecting group;

$R^2_b$  is CHOAcMe or  $CHR^5R^6_b$  in which  $R^5$  is as defined above and  $R^6_b$  is OAc, SBn, SMe, CONHR $^1_b$  in which  $R^1_b$  is as defined above,

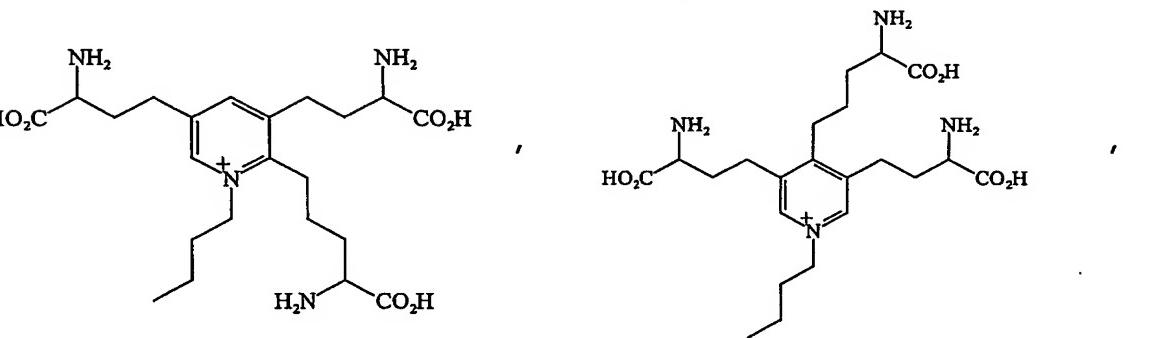
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$CO_2H$  or  $CH_2CO_2H$ ;  
(b) oxazolidination of the compound of formula IV to form the compound of formula II; and  
(c) reductive cleavage of the compound of formula II to form the compound of formula I.

25

6. A process according to claim 5, in which the conversion step (a) results in the protection of the amino group on the compound of formula III to produce the compound of formula IV.

30

7. A process according to claim 5 or claim 6, in which the oxazolidination step (b) uses a formaldehyde source in an organic solvent.

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8. A process according to claim 7, in which the formaldehyde source is paraformaldehyde and paratoluenesulphonic acid (TsOH).

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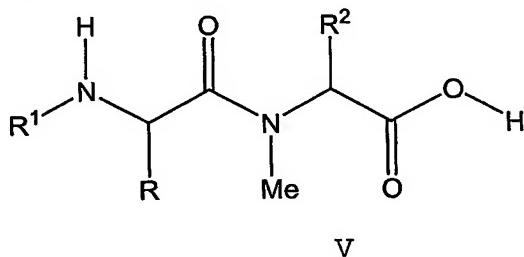
9. A process according to claim 7 or claim 8, in which the organic solvent is benzene or toluene.

5 10. Use of the compound of formula I or II defined in claim 1 or claim 2 in the synthesis of peptides.

11. A peptide which includes the compound of formula I or II as defined in claim 1 or claim 2.

10 12. A peptide according to claim 11, which is a dipeptide.

13. A peptide according to claim 12, in which the  
15 dipeptide is of the formula V



20

in which

25  $\text{R}^1$  and  $\text{R}^2$  are as defined in claim 1 or claim 2,  $\text{R}'$  is an optionally protected amino acid side chain and  $\text{R}$  is H or a carboxyl-protecting group.

14. A kit for use in synthesising peptides which comprises

30 (a) at least one compound of formula I or formula II as defined in claim 1 or claim 2 or peptide as defined in any one of claims 11 to 13; and

(b) optionally at least one other N-methyl amino acid, its precursor oxazolidinones, an optionally substituted amino acid, or protected forms thereof,

35 said compounds, N-methyl amino acids, oxazolidinones and/or amino acids being held separately.